

EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|------|------------------|--------------------|------------------|---------|------------------|
| L1 | 1923 | 544/235, 514/248 | US-PGPUB; USPAT | OR | OFF | 2006/08/30 15:25 |
| L2 | 1361 | 544/235, 514/248 | USPAT | OR | OFF | 2006/08/30 15:25 |
| L3 | 562 | 544/235, 514/248 | US-PGPUB | OR | OFF | 2006/08/30 15:25 |

**PALM INTRANET**

Day : Wednesday

Date: 8/30/2006

Time: 15:22:06

Inventor Information for 10/799389

| Inventor Name | City | State/Country |
|------------------------|---------------|---------------|
| <u>ONO, MITSUNORI</u> | LEXINGTON | MASSACHUSETTS |
| <u>SUN, LIJUN</u> | HARVARD | MASSACHUSETTS |
| <u>XIA, ZHIQIANG</u> | ACTON | MASSACHUSETTS |
| <u>KOSTIK, ELENA</u> | ARLINGTON | MASSACHUSETTS |
| <u>KOYA, KEIZO</u> | CHESTNUT HILL | MASSACHUSETTS |
| <u>NAGAI, MASAZUMI</u> | LEXINGTON | MASSACHUSETTS |
| <u>WU, YAMING</u> | LEXINGTON | MASSACHUSETTS |

[Appln Info](#)[Contents](#)[Petition Info](#)[Atty/Agent Info](#)[Continuity/Reexam](#)[Foreign U](#)Search Another: Application# or Patent# PCT / / or PG PUBS # Attorney Docket # Bar Code #

To go back use Back button on your browser toolbar.

Back to [PALM](#) | [ASSIGNMENT](#) | [OASIS](#) | [Home page](#)

```

chain nodes :
10 11 12 16
ring nodes :
1 2 3 4 5 6 7 8 9
ring/chain nodes :
14
chain bonds :
8-16 10-11 11-12 11-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 6-9 10-11 11-12 11-14
exact bonds :
5-7 7-8 8-9 8-16
isolated ring systems :
containing 1 :

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G1:O,S,N

G2:C,O,S,N,SO2

Match level :

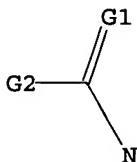
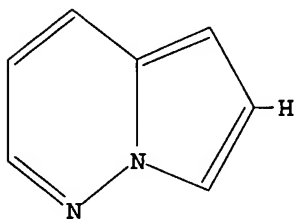
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,S,N

G2 C,O,S,N,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:18:22 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 790 TO ITERATE

100.0% PROCESSED 790 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**
PROJECTED ITERATIONS: 14114 TO 17486
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 14:18:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16389 TO ITERATE

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SEARCH TIME: 00.00.01

L3 75 SEA SSS FUL L1

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 166.94 | 167.15 |

FILE 'CAPLUS' ENTERED AT 14:18:35 ON 30 AUG 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 30 Aug 2006 VOL 145 ISS 10
FILE LAST UPDATED: 29 Aug 2006 (20060829/ED)

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<http://www.cas.org/infopolicy.html>

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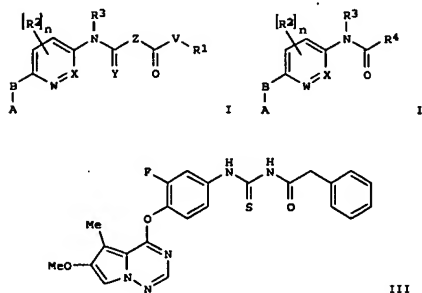
L4 6 L3

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L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 ACCESSION NUMBER: 2006-524761 CAPLUS
 DOCUMENT NUMBER: 145:28024
 TITLE: Preparation of fused heterocyclic kinase inhibitors
 INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Huynh, Tram N.;
 Vaccaro, Wayne; Chen, Xiao-Tao; Kim, Kyoung S.; Cai,
 Zhen-Wei
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 141 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2005288290 | A1 | 20051229 | US 2005-167043 | 20050624 |
| WO 2006004636 | A2 | 20060112 | WO 2005-US22682 | 20050628 |
| WO 2006004636 | A3 | 20060526 | | |
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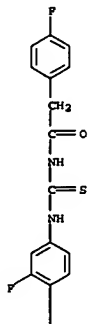
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 PRIORITY APPL. INFO.: US 2004-583459P P 20040628
 US 2004-612563P P 20040923
 OTHER SOURCE(S): MARPAT 145:28024
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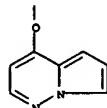
AB The title compds. I and II (R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substituted pyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts), useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6-

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 IC50 values between 0.01 to 100 µM. Pharmaceutical compns. comprising the compd. I or II alone or in combination with other antitumor agent are disclosed.
 IT 888716-63-OP 888716-64-1P 888716-74-3P
 RL: PAC (Pharmacological activity); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)
 RN 888716-63-0 CAPLUS
 CN Benzenecetamide, 4-fluoro-N-[[[3-fluoro-4-(pyrrolo[1,2-b]pyridazin-4-yl)oxy]phenyl]amino]thiomethyl]- (9C1) (CA INDEX NAME)

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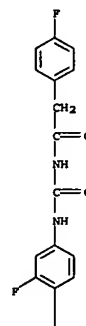


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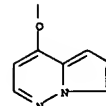
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L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Benzenecetamide, 4-fluoro-N-[[[3-fluoro-4-(pyrrolo[1,2-b]pyridazin-4-yl)oxy]phenyl]amino]thiomethyl]- (9C1) (CA INDEX NAME)

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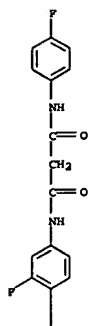
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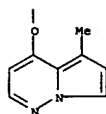
RN 888716-74-3 CAPLUS
 CN Propanediamide, N-[3-fluoro-4-[(5-methylpyrrolo[1,2-b]pyridazin-4-yl)oxy]phenyl]-N'-(4-fluorophenyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



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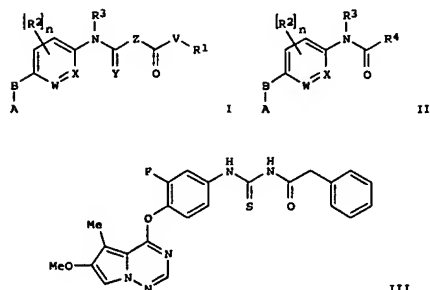
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-583459P P 20040628
US 2004-612563P P 20040923

OTHER SOURCE(S): MARPAT 145:28023
GI



AB The title compds. I and II (R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substituted pyrrolo[2,1-f][1,2,4]triazine-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts), useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6-

Habte

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:534671 CAPLUS

DOCUMENT NUMBER: 145:28023

TITLE: Preparation of pyrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer

INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Hunt, John T.; Huynh, Tram; Poss, Michael A.; Schroeder, Gretchen M.; Vaccaro, Mayne; Wong, Tai W.; Chen, Xiao-Tao; Kim, Kyoung S.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 135 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2006004006 | A1 | 20060105 | US 2005-167049 | 20050624 |
| WO 2006004636 | A2 | 20060112 | WO 2005-US22682 | 20050628 |
| WO 2006004636 | A3 | 20060526 | | |
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L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to 100 µM. Pharmaceutical compns. comprising the compd. I or II alone or in combination with other antitumor agent are disclosed.

IT 888716-63-OP 888716-64-1P 888716-74-3P

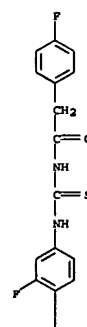
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)

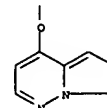
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CN Benzenesulfonamide, 4-fluoro-N-[[[3-fluoro-4-(pyrrolo[1,2-b]pyridazin-4-yl)oxy]phenyl]amino]thioxomethyl]- (9CI) (CA INDEX NAME)

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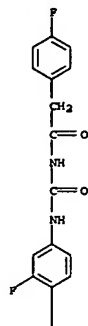


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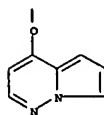
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L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN Benzeneacetamide, 4-fluoro-N-[[[3-fluoro-4-(pyrrolo[1,2-b]pyridazin-4-yl)oxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

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RN 888716-74-3 CAPLUS
 CN Propanediamide, N-[3-fluoro-4-[[[5-methylpyrrolo[1,2-b]pyridazin-4-yl]oxy]phenyl]-N'-(4-fluorophenyl)]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 ACCESSION NUMBER: 2006:31750 CAPLUS
 DOCUMENT NUMBER: 144:128986
 TITLE: Preparation of pyrrolopyridazine derivatives as inhibitors of phosphodiesterase-4 (PDE-IV) and production of tumor necrosis factor- α (TNF- α)
 INVENTOR(S): Abe, Yoshito; Inoue, Makoto; Okumura, Mitsuaki; Ohne, Kazuhiko; Sato, Kentaro
 PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
 SOURCE: PCT Int. Appl., 52 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
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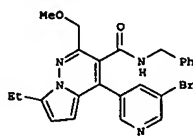
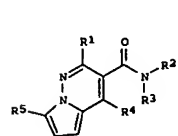
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| WO 2006004191 | A1 | 20060112 | WO 2005-JP12622 | 20050701 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KM, KN, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPL. INFO.: AU 2004-903690 A 20040705

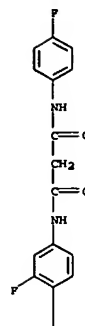
OTHER SOURCE(S): MARPAT 144:128986
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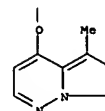
AB Title compds. I [wherein R1 = H, (un)protected carboxy, (un)substituted alkyl, etc.; R2 = (un)substituted (cyclo)alkyl, aryl, etc.; R3 = H or alkyl; R2 and R3 may link together; R4 = (un)substituted (hetero)aryl, etc., and pharmaceutically acceptable salts or prodrugs thereof] were prepared as inhibitors of phosphodiesterase-4 (PDE-IV) and production of tumor necrosis factor- α (TNF- α). For instance, II, which showed inhibition for PDE-IV and on the production of TNF- α with IC50 values of < 1 μ M and 64.0 nM, resp., was synthesized in multiple steps. Therefore, I and their pharmaceutical compns. are useful for the

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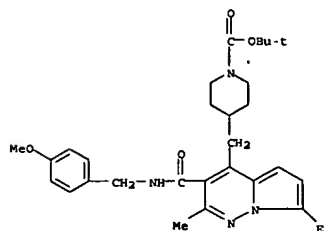
L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 PAGE 1-A



PAGE 2-A



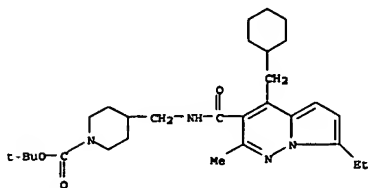
L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 of PDE-IV or TNF- α mediated diseases, such as asthma, COPD and hepatitis.
 IT 873327-04-9P, tert-Butyl 4-[[[7-ethyl-3-[[[4-(methoxybenzyl)amino]carbonyl]-2-methylpyrrolo[1,2-b]pyridazin-4-yl]methyl]-1-piperidinecarboxylate
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (inhibitor; preparation of pyrrolopyridazine derivs. as inhibitors of phosphodiesterase-4 and production of tumor necrosis factor- α)
 RN 873327-04-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[7-ethyl-3-[[[4-(methoxybenzyl)amino]carbonyl]-2-methylpyrrolo[1,2-b]pyridazin-4-yl]methyl]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



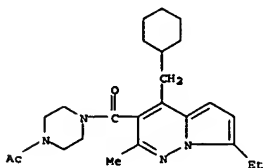
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (inhibitor; preparation of pyrrolopyridazine derivs. as inhibitors of

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L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)
phosphodiesterase-4 and prodn. of tumor necrosis factor- α)
RN 873327-01-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-(cyclohexylmethyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

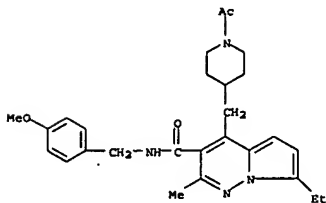


RN 873327-03-8 CAPLUS
CN Piperazine, 1-acetyl-4-[[[4-(cyclohexylmethyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

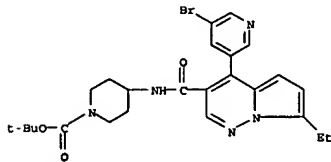


RN 873327-10-7 CAPLUS
CN Piperazine, 1-acetyl-4-[[[4-(5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

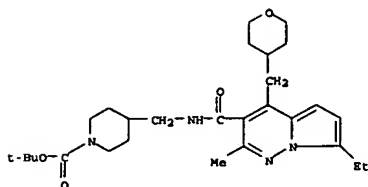
L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



RN 873327-48-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-(5-bromo-3-pyridinyl)-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



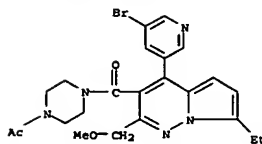
RN 873327-49-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[7-ethyl-2-methyl-4-[(tetrahydro-2H-pyran-4-yl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



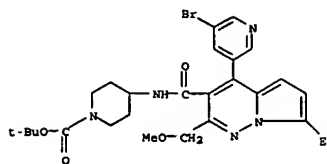
RN 873327-50-5 CAPLUS

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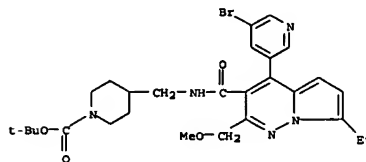
L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)



RN 873327-12-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-(5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



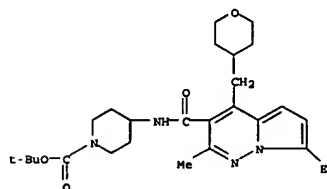
RN 873327-13-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-(5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 873327-37-8 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-carboxamide, 4-[[1-acetyl-4-piperidinyl)methyl]-7-ethyl-N-[(4-methoxyphenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS ON STN (Continued)

CN 1-Piperidinecarboxylic acid, 4-[[[7-ethyl-2-methyl-4-[(tetrahydro-2H-pyran-4-yl)methyl]pyrrolo[1,2-b]pyridazin-3-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



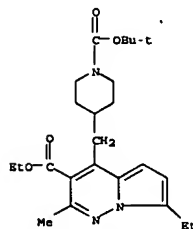
IT 873326-82-0P, Ethyl 4-[[1-(tert-butoxycarbonyl)-4-

piperidinyl)methyl]-7-ethyl-2-methylpyrrolo[1,2-b]pyridazine-3-carboxylate 873326-89-7P, 4-[[1-(tert-butoxycarbonyl)-4-piperidinyl)methyl]-7-ethyl-2-methylpyrrolo[1,2-b]pyridazine-3-carboxylic acid

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolopyridazine derivs. as inhibitors of phosphodiesterase-4 and production of tumor necrosis factor- α)

RN 873326-82-0 CAPLUS

CN Pyrrolo[1,2-b]pyridazine-3-carboxylic acid, 4-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl)methyl]-7-ethyl-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



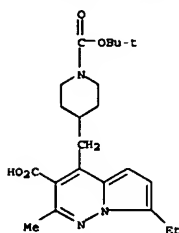
RN 873326-89-7 CAPLUS

CN Pyrrolo[1,2-b]pyridazine-3-carboxylic acid, 4-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl)methyl]-7-ethyl-2-methyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

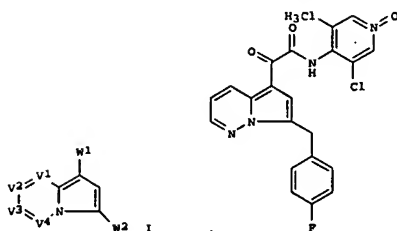
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:799444 CAPLUS
DOCUMENT NUMBER: 141:296005
TITLE: Preparation of fused pyrrole compounds as TNF α and/or PDE4 inhibitors for treatment of cancer, inflammatory disorders, and autoimmune diseases
INVENTOR(S): Ono, Mitsunori; Sun, Lijun; Xia, Zhi Qiang; Kostik, Elena; Koya, Keizo; Wu, Yaming; Nagai, Masazumi
PATENT ASSIGNER(S): Syntex Pharmaceuticals Corp., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004082606 | A2 | 20040930 | WO 2004-US7469 | 20040311 |
| WO 2004082606 | A3 | 20050127 | | |
| WO 2004082606 | C1 | 20050303 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SN, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW, AM, AZ, BW, CH, CN, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, RU, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004222387 | A1 | 20040930 | AU 2004-222387 | 20040311 |
| CA 2517034 | AA | 20040930 | CA 2004-2517034 | 20040311 |
| EP 1601678 | A3 | 20051207 | EP 2004-719768 | 20040311 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| US 2005014754 | A1 | 20050120 | US 2004-799389 | 20040312 |
| PRIORITY APPL. INFO.: US 2003-454963P P 20030313 | | | | |
| WO 2004-US7469 A 20040311 | | | | |

OTHER SOURCE(S): MARPAT 141:296005
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L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. I [wherein one of W1 and W2 = YC(Z)NR1R2 and the other = XR3; V1-V4 = independently N, (un)substituted CH; or V1V2 or V3V4 = S, O, (un)substituted NH; or 2 adjacent V's form a fused aryl ring; X = bond, S, SO, SO2, CO, (un)substituted CH2, NH, CONH, NHCO; Y = O, S, SO, SO2, CO, (un)substituted CH2, NH, CONH, NHCO; Z = O, S, (un)substituted NH, NH; R1, R2 = independently H, (un)substituted aliphatic group, heterocyclyl, aryl, or NR1R2 = heterocyclyl, heteroaryl; R3 = (un)substituted aryl, aliphatic, with provisos; and pharmaceutically acceptable salts and prodrugs thereof], such as indolizines, pyrrolo[1,2-b]pyridazines, and pyrrolo[2,1-b]thiazoles, were prepared as phosphodiesterase IV (PDE4) and/or tumor necrosis factor α (TNF α) inhibitors. For example, reaction of 2-bromo-4'-fluoroacetophenone with 3-methylpyridazine in the presence of DMF-Me2SO4 provided (4-fluorophenyl)pyrrolo[1,2-b]pyridazin-7-ylmethanone, which was reduced to the benzyl derivative using BH3-THP. Sequential coupling with oxalyl chloride and 4-amino-3,5-dichloropyridine-N-oxide gave II (1.5% overall). The latter inhibited TNF α in human peripheral blood cells and PDE4 in U937 human monocytic cells with IC50 values of about 50 nM and about 5 nM, resp. II also demonstrated in vitro anticancer activity in human cancer cell line MDA435 with an IC50 value of about 1 μ M. Thus, I and their pharmaceutical compds. are useful for the treatment or prevention of cancer, inflammatory disorders, autoimmune diseases, and other conditions involving PDE4 or elevated levels of cytokines.

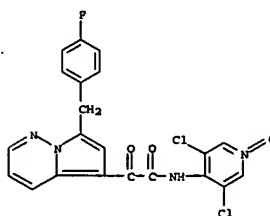
IT 764723-23-1P, N-(3,5-Dichloro-1-oxopyridin-4-yl)-2-[7-(4-fluorobenzyl)pyrrolo[1,2-b]pyridazin-5-yl]-2-(oxo)acetamide
764723-27-5P, 2-[7-(4-Cyanobenzyl)pyrrolo[1,2-b]pyridazin-5-yl]-N-(3,5-dichloro-1-oxopyridin-4-yl)-2-(oxo)acetamide 764723-28-6P, 2-[7-(4-Methoxybenzyl)pyrrolo[1,2-b]pyridazin-5-yl]-2-oxo-N-(pyridin-4-yl)acetamide 764723-29-7P, 2-[7-(4-Chlorobenzyl)pyrrolo[1,2-b]pyridazin-5-yl]-N-(isoxazol-5-yl)-2-(oxo)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

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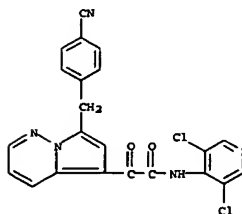
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cytokine inhibitor; prepn. of fused pyrrole compds. as TNF α and/or PDE4 inhibitors for treatment of cancer, inflammatory disorders, and autoimmune diseases)

RN 764723-23-1 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-5-acetamide, N-(3,5-dichloro-1-oxido-4-pyridinyl)-7-[(4-fluorophenyl)methyl]- α -oxo- (9CI) (CA INDEX NAME)



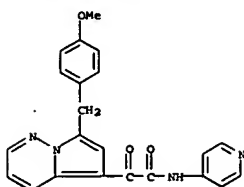
RN 764723-27-5 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-5-acetamide, 7-[(4-cyanophenyl)methyl]-N-(3,5-dichloro-4-pyridinyl)- α -oxo- (9CI) (CA INDEX NAME)



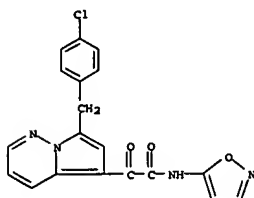
RN 764723-28-6 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-5-acetamide, 7-[(4-methoxyphenyl)methyl]- α -oxo-N-(4-pyridinyl)- (9CI) (CA INDEX NAME)

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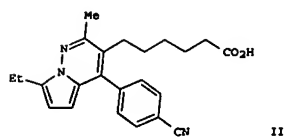
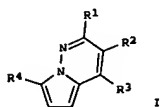
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 764723-29-7 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-5-acetamide, 7-[(4-chlorophenyl)methyl]-N-5-isoxazolyl-α-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. I [wherein R1 = (un)protected CO₂H, CONH₂ and deriva., OH and lower alkoxy, mono/di/cyclo(lower)alkylamino, trihalo(lower)alkyl, (un)substituted lower alkyl, aryl, heterocyclyl; R2 = R7, or - (A1)p-XA2A7; p = 0-1; A1 = ethylene, HC:CH; A2 = (CH₂)_n, (HC:CH)_m; n = 1-6; m = 1-3; X = a single bond, O, NH and deriva., C(=O), hydroxyalkyl, etc.; R7 = H, (un)substituted aryl, heterocyclyl, CO₂H and deriva., acyl, CN, NH₂ and deriva., OH, aryloxy, acyloxy; R1R2 = (un)substituted lower alk(en)ylene, optionally interrupted by NH₂, or sulfonyl, and optionally fused with benzene; R3 = (un)substituted aryl, heterocyclyl; R4 = H, halo, CN, carbamoyl, acyl, thiocyanate, lower alkylthio, lower alk(en)yl, hydroxy(lower)alkyl, trihalo(lower)alkyl; and their pharmaceutically acceptable salts or prodrugs] were prepared as inhibitors of phosphodiesterase IV (PDE IV) and production of tumor necrosis factor-α (TNF-α). Thus, reacting Et 7-(4-cyanobenzoyl)-8-oxononanoate (preparation given) with 2-ethyl-1H-pyrrol-1-amine in toluene in the presence of p-TSA at reflux, followed ester hydrolysis in the presence of KOH/MeOH gave pyrrolopyridazine II and its 4-(aminocarbonyl)phenyl derivative. Pyrrolopyridazine II displayed an IC₅₀ < 1 μM for PDE IV inhibition. II gave an IC₅₀ < 100 μM for the inhibition of TNF-α production. I are useful for treating asthma, COPD, fibrosis, hepatitis, Alzheimer's diseases, etc.

IT 728011-71-0P, Ethyl 7-[4-[(4-[(benzyloxy)carbonyl]amino)sulfonyl]phenyl]-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]heptanoate 728015-23-4P, Ethyl 2-(2-amino-2-oxoethyl)-4-(4-cyanophenyl)-7-ethylpyrrolo[1,2-b]pyridazine-3-carboxylate 728015-80-3P, tert-Butyl [4-(4-(3-cyanophenyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl)butyl]carbamate 728017-66-1P, Ethyl 5-[4-[5-[(tert-butoxycarbonyl)amino]-3-pyridinyl]-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate

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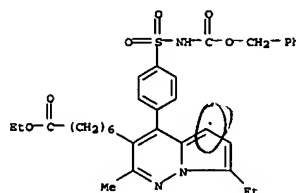
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:606471 CAPLUS
DOCUMENT NUMBER: 141:157123
TITLE: Preparation of pyrrolopyridazines as inhibitors of phosphodiesterase IV (PDE IV) and production of tumor necrosis factor-α (TNF-α)
INVENTOR(S): Abe, Yoshito; Inoue, Makoto; Mizutani, Teuyoshi; Sawada, Kozo; Ohne, Kazuhiko; Okumura, Mitsunaki; Sawada, Yukio; Imamura, Kenichiro
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 360 pp.
DOCUMENT TYPE: CODEN: PIXXD2
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: English
PATENT INFORMATION: 1

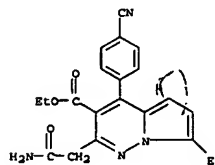
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2004063197 | A1 | 20040729 | WO 2003-JP17091 | 20031226 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BO, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
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| CA 2513081 | AA | 20040729 | CA 2003-2513081 | 20031226 |
| AU 2003294183 | A1 | 20040810 | AU 2003-294183 | 20031226 |
| EP 1581535 | A1 | 20051005 | EP 2003-789642 | 20031226 |
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| BR 2003017358 | A | 20051213 | BR 2003-17358 | 20031226 |
| CN 1759117 | A | 20060412 | CN 2003-80110135 | 20031226 |
| JP 2006515597 | T2 | 20060601 | JP 2004-566309 | 20031226 |
| US 2005075342 | A1 | 20050407 | US 2003-747079 | 20031230 |
| NO 2005003748 | A | 20051007 | NO 2005-3748 | 20050804 |
| PRIORITY APPLN. INFO.: | | | AU 2003-900189 | A 20030109 |
| | | | AU 2003-903628 | A 20030714 |
| | | | WO 2003-JP17091 | W 20031226 |

OTHER SOURCE(S): MARPAT 141:157123
GI

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(phosphodiesterase IV inhibitor; prepn. of pyrrolopyridazines as inhibitors of phosphodiesterase IV and prodn. of tumor necrosis factor-α (TNF-α))
RN 728011-71-0 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-heptanoic acid, 7-ethyl-2-methyl-4-[(4-[(phenylmethoxy)carbonyl]amino)sulfonyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

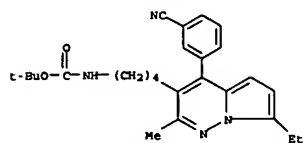


RN 728015-23-4 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-carboxylic acid, 2-(2-amino-2-oxoethyl)-4-(4-cyanophenyl)-7-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

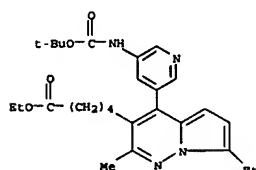


RN 728015-80-3 CAPLUS
CN Carbamic acid, [4-(4-(3-cyanophenyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl)butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728017-66-1 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-[[[1,1-dimethylethoxy]carbonyl]amino]-3-pyridinyl]-7-ethyl-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



IT 728015-56-3P, 2-[[[4-(3-chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]propanoyl]amino]ethanesulfonic acid 728015-59-6P 728015-68-7P 728015-72-3P, N-[5-[[4-(3-cyanophenyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]pentanoyl]methanesulfonamide 728016-38-4P, 5-[4-(3-cyanophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-N-(2-pyridinyl)pentanamide 728016-40-8P, 5-[4-(3-chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-N-(2-pyridinyl)pentanamide 728016-42-OP, N-[5-[[4-(3-chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]pentanoyl]methanesulfonamide 728016-44-2P, 5-[4-(2-chloro-4-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-N-(2-pyridinyl)pentanamide 728016-46-4P, N-[5-[[4-(5-bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]pentanoyl]methanesulfonamide 728016-66-8P, 5-[4-(3-cyanophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-N-methylpentanamide 728016-68-OP, 4-(3-chlorophenyl)-7-ethyl-3-[[5-(4-morpholinyl)-5-oxopentyl]-2-phenylpyrrolo[1,2-b]pyridazine 728016-70-4P, 5-[4-(3-chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-N-methylpentanamide 728016-72-6P, 5-[4-(2-chloro-4-pyridinyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Ethyl 5-[2-[[[2-(benzylamino)-2-oxoethoxy]methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728021-59-8P, tert-Butyl 2-[[4-(5-bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]ethyl]carbamate 728021-66-7P 728021-67-8P, Ethyl 5-[4-(5-bromo-3-pyridinyl)-2-[[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728021-68-9P, 4-(5-bromo-3-pyridinyl)-3-[[5-ethoxy-5-oxopentyl]-7-ethylpyrrolo[1,2-b]pyridazin-2-yl]methyl 1-pyrrolidinecarboxylate 728021-69-OP, Ethyl

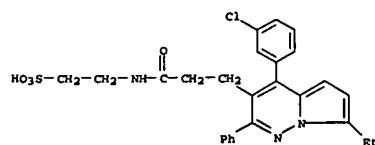
5-[4-(5-bromo-3-pyridinyl)-7-ethyl-2-[[[[(methyl(phenyl)amino)carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728021-70-3P, 4-(5-bromo-3-pyridinyl)-3-[[4-ethoxy-4-oxobutyl]-7-ethylpyrrolo[1,2-b]pyridazin-2-yl]methyl 4-morpholinecarboxylate 728021-72-5P, Ethyl

4-[4-(5-bromo-3-pyridinyl)-2-[[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoate 728021-74-7P, Ethyl

3-[4-(5-bromo-3-pyridinyl)-2-[[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]propanoate 728021-75-3P, (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRSP (Preparation); USES (Uses)

(phosphodiesterase IV inhibitor; prepn. of pyrrolopyridazines as inhibitors of phosphodiesterase IV and prodn. of tumor necrosis factor- α (TNF- α))

RN 728015-56-3 CAPLUS
CN Ethanesulfonic acid, 2-[[[4-(3-chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-1-oxopropyl]amino]- (9CI) (CA INDEX NAME)



RN 728015-59-6 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-propanamide, 4-(3-chlorophenyl)-7-ethyl-2-phenyl-N-[2,3,4,6-tetrakis-O-(2,2-dimethyl-1-oxopropyl)- β -D-galactopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

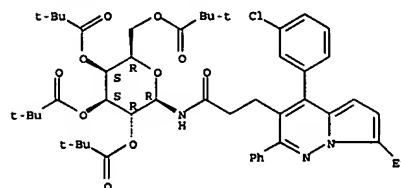
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

N-methylpentanamide 728016-74-8P, 3-[7-Ethyl-3-[[5-(4-morpholinyl)-5-oxopentyl]-2-phenylpyrrolo[1,2-b]pyridazin-4-yl]benzonitrile 728016-76-OP, 3-[7-Ethyl-2-methyl-3-[[5-(4-morpholinyl)-5-oxopentyl]pyrrolo[1,2-b]pyridazin-4-yl]benzonitrile 728016-78-2P, 5-[4-(3-cyanophenyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]pentanamide 728017-85-4P, Ethyl 5-[7-ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(4-morpholinyl)-2-oxoethoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-86-5P, Ethyl 5-[7-ethyl-2-[[2-(methylamino)-2-oxoethoxy]methyl]-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-90-1P, Ethyl 5-[2-[[[acetyl]amino]methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728017-94-5P, Ethyl 5-[7-ethyl-2-[[[methoxycarbonyl]amino]methyl]-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoate 728018-21-1P, 5-[7-Ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(4-morpholinyl)-2-oxoethoxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-22-2P, 5-[7-Ethyl-3-[[2-(methylamino)-2-oxoethoxy]methyl]-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-30-2P, 5-[2-[[[Acetyl]amino]methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-34-6P, 5-[7-Ethyl-2-[[[methoxycarbonyl]amino]methyl]-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728018-46-OP, 4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methyl-3-[[3-(4-morpholinyl)-3-oxopropyl]pyrrolo[1,2-b]pyridazine 728018-47-1P,

3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]-N-methylpropanamide 728018-48-2P, N-[3-[[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]propanoyl]methanesulfonamide 728018-49-3P, 2-[[[3-[[4-(3-chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]propanoyl]methyl]amino]ethanesulfonic acid 728020-31-3P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[[4-(morpholinyl)carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-32-4P, 5-[4-(5-Bromo-3-pyridinyl)-2-[[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-33-5P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[[[(1-pyrrolidinyl)carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-34-6P, 5-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[[methyl(phenyl)amino]carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-35-7P,

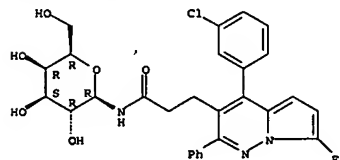
4-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-[[[4-(morpholinyl)carbonyl]oxy]methyl]pyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-36-8P, 4-[4-(5-Bromo-3-pyridinyl)-2-[[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]butanoic acid 728020-37-9P, 3-[4-(5-Bromo-3-pyridinyl)-2-[[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethylpyrrolo[1,2-b]pyridazin-3-yl]propanoic acid 728020-57-3P, 5-[2-[[[2-(Benzylamino)-2-oxoethoxy]methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)pyrrolo[1,2-b]pyridazin-3-yl]pentanoic acid 728020-98-2P 728021-11-2P 728021-13-4P, N-[2-Aminoethyl]-3-[4-(5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanamide 728021-52-1P, 3-[4-(5-Bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]-N-[2-hydroxy-1,1-bis(hydroxymethyl)ethyl]propanamide 728021-53-2P, tert-Butyl 2-[[[3-[[4-(5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]propanoyl]amino]ethyl]carbamate 728021-54-3P,

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

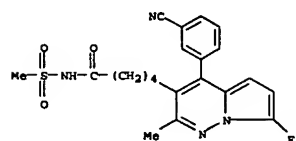


RN 728015-68-7 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-propanamide, 4-(3-chlorophenyl)-7-ethyl-N- β -D-galactopyranosyl-2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

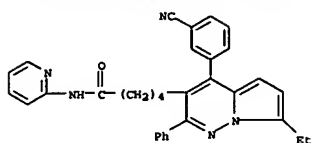


RN 728015-72-3 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(3-cyanophenyl)-7-ethyl-2-methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

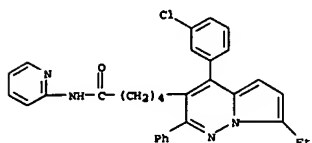


RN 728016-38-4 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(3-cyanophenyl)-7-ethyl-2-phenyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

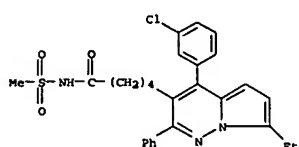
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728016-40-8 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(3-chlorophenyl)-7-ethyl-2-phenyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

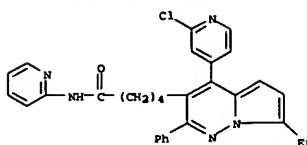


RN 728016-42-0 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(3-chlorophenyl)-7-ethyl-N-(methylsulfonyl)-2-phenyl- (9CI) (CA INDEX NAME)

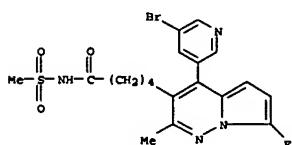


RN 728016-44-2 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(2-chloro-4-pyridinyl)-7-ethyl-2-phenyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

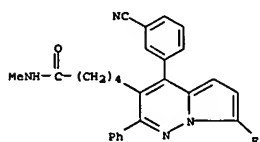
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728016-46-4 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(5-bromo-3-pyridinyl)-7-ethyl-2-methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

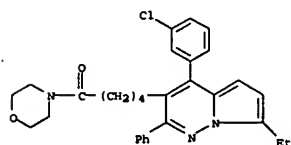


RN 728016-66-8 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(3-cyanophenyl)-7-ethyl-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)

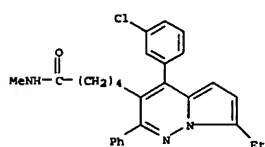


RN 728016-68-0 CAPLUS
 CN Morpholine, 4-[5-[4-(3-chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-1-oxopentyl]- (9CI) (CA INDEX NAME)

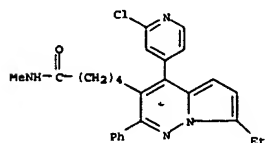
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728016-70-4 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(3-chlorophenyl)-7-ethyl-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)

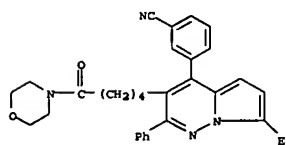


RN 728016-72-6 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(2-chloro-4-pyridinyl)-7-ethyl-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)

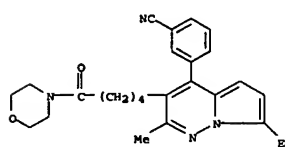


RN 728016-74-8 CAPLUS
 CN Morpholine, 4-[5-[4-(3-cyanophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-1-oxopentyl]- (9CI) (CA INDEX NAME)

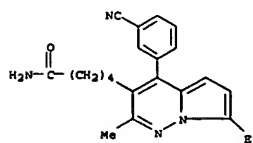
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728016-76-0 CAPLUS
 CN Morpholine, 4-[5-[4-(3-cyanophenyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]-1-oxopentyl]- (9CI) (CA INDEX NAME)

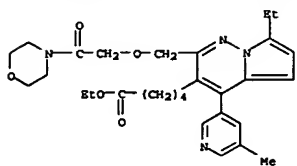


RN 728016-78-2 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanamide, 4-(3-cyanophenyl)-7-ethyl-2-methyl- (9CI) (CA INDEX NAME)

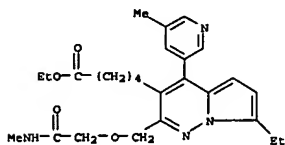


RN 728017-85-4 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 7-ethyl-4-(5-methyl-3-pyridinyl)-2-[(2-(4-morpholinyl)-2-oxoethoxy)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

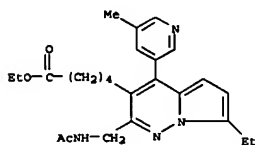
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728017-86-5 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 7-ethyl-2-[[2-(methylamino)-2-oxoethoxy]methyl]-4-(5-methyl-3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

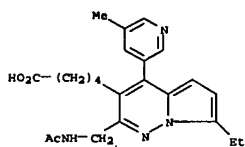


RN 728017-90-1 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 2-[[2-(methylamino)-2-oxoethoxy]methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

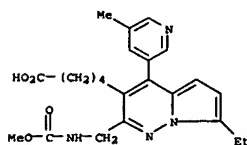


RN 728017-94-5 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 7-ethyl-2-[[2-(methylamino)-2-oxoethoxy]methyl]-4-(5-methyl-3-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

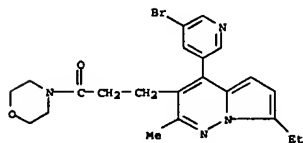
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728018-34-6 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 7-ethyl-2-[[2-(methoxycarbonylamino)methyl]-4-(5-methyl-3-pyridinyl)-], ethyl ester (9CI) (CA INDEX NAME)

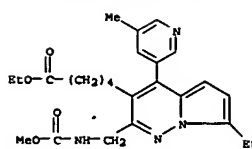


RN 728018-46-0 CAPLUS
 CN Morpholine, 4-[3-[4-(5-bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

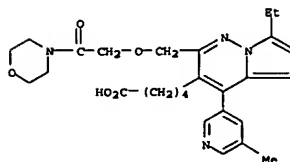


RN 728018-47-1 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-propanamide, 4-(5-bromo-3-pyridinyl)-7-ethyl-N,2-dimethyl- (9CI) (CA INDEX NAME)

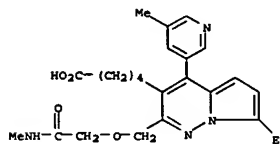
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728018-21-1 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 7-ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-(4-morpholinyl)-2-oxoethoxy]methyl]- (9CI) (CA INDEX NAME)

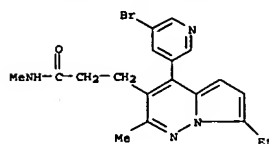


RN 728018-22-2 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 7-ethyl-2-[[2-(methylamino)-2-oxoethoxy]methyl]-4-(5-methyl-3-pyridinyl)- (9CI) (CA INDEX NAME)

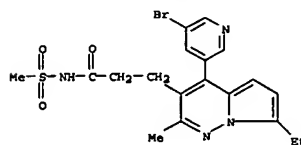


RN 728018-30-2 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 2-[[2-(acetylamino)methyl]-7-ethyl-4-(5-methyl-3-pyridinyl)-] (9CI) (CA INDEX NAME)

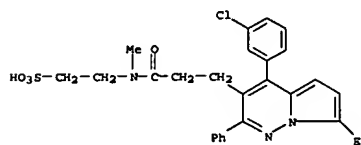
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728018-48-2 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-propanamide, 4-(5-bromo-3-pyridinyl)-7-ethyl-2-methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

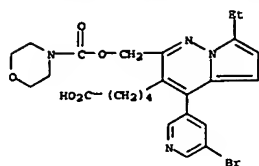


RN 728018-49-3 CAPLUS
 CN Ethanesulfonic acid, 2-[[3-[4-(3-chlorophenyl)-7-ethyl-2-phenylpyrrolo[1,2-b]pyridazin-3-yl]-1-oxopropyl]methylamino]- (9CI) (CA INDEX NAME)

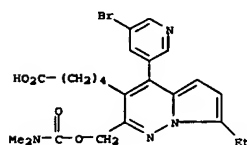


RN 728020-31-3 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-(5-bromo-3-pyridinyl)-7-ethyl-2-[[4-(4-morpholinyl)carbonyloxy]methyl]- (9CI) (CA INDEX NAME)

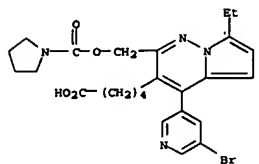
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728020-32-4 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-((5-bromo-3-pyridinyl)-2-(((dimethylamino)carbonyl)oxy)methyl)-7-ethyl- (9CI) (CA INDEX NAME)

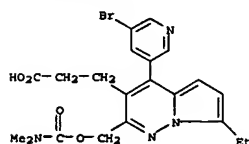


RN 728020-33-5 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-((5-bromo-3-pyridinyl)-2-(((1-pyrrolidinyl)carbonyl)oxy)methyl)-7-ethyl- (9CI) (CA INDEX NAME)

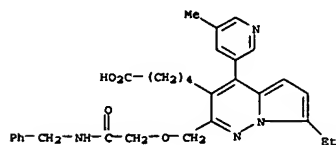


RN 728020-34-6 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-((5-bromo-3-pyridinyl)-2-(((methylphenylamino)carbonyl)oxy)methyl)-7-ethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

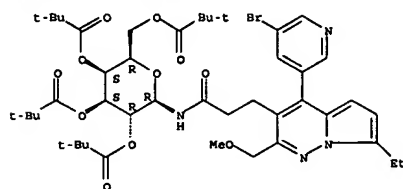


RN 728020-57-3 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 7-ethyl-4-((5-methyl-3-pyridinyl)-2-[[2-oxo-2-((phenylmethyl)amino)ethoxy)methyl]- (9CI) (CA INDEX NAME)



RN 728020-98-2 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-propanamide, N-(2-aminoethyl)-4-((5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)-N-(2,3,4,6-tetrakis-O-(2,2-dimethyl-1-oxopropyl)-β-D-galactopyranosyl)- (9CI) (CA INDEX NAME)

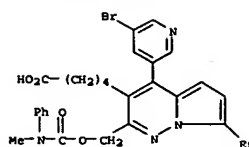
Absolute stereochemistry.



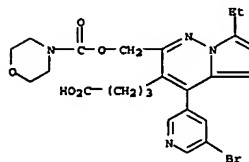
RN 728021-11-2 CAPLUS
 CN Carbamic acid, [2-[[3-[[4-((5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]-1-oxopropyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Hatte

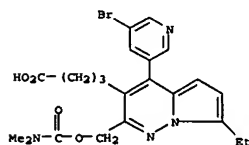
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728020-35-7 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-butanoic acid, 4-((5-bromo-3-pyridinyl)-7-ethyl-2-(((4-morpholinyl)carbonyl)oxy)methyl)- (9CI) (CA INDEX NAME)

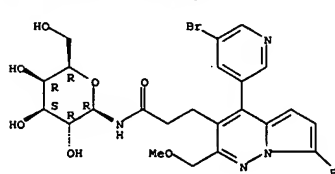


RN 728020-36-8 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-butanoic acid, 4-((5-bromo-3-pyridinyl)-7-ethyl-2-(((dimethylamino)carbonyl)oxy)methyl)- (9CI) (CA INDEX NAME)

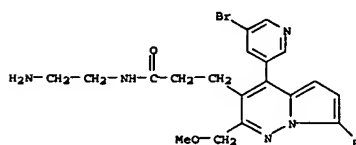


RN 728020-37-9 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-propanoic acid, 4-((5-bromo-3-pyridinyl)-2-(((dimethylamino)carbonyl)oxy)methyl)-7-ethyl- (9CI) (CA INDEX NAME)

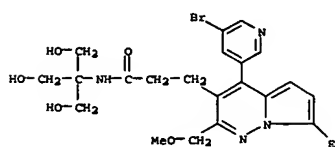
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728021-13-4 CAPLUS
 CN Pyrrolo[1,2-b]pyridazine-3-propanamide, N-(2-aminoethyl)-4-((5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)- (9CI) (CA INDEX NAME)



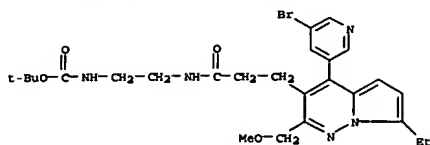
RN 728021-52-1 CAPLUS
 CN Carbamic acid, [2-[[3-[[4-((5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]-1-oxopropyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



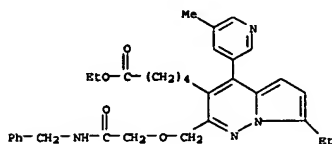
RN 728021-53-2 CAPLUS
 CN Carbamic acid, [2-[[3-[[4-((5-bromo-3-pyridinyl)-7-ethyl-2-(methoxymethyl)pyrrolo[1,2-b]pyridazin-3-yl]-1-oxopropyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

08/30/2006

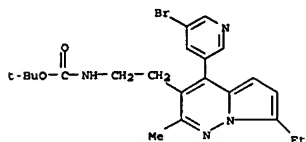
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728021-54-3 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 7-ethyl-4-(5-methyl-3-pyridinyl)-2-[[2-oxo-2-[(phenylmethyl)amino]ethoxy]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

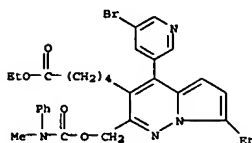


RN 728021-59-8 CAPLUS
CN Carbamic acid, [2-[4-(5-bromo-3-pyridinyl)-7-ethyl-2-methylpyrrolo[1,2-b]pyridazin-3-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

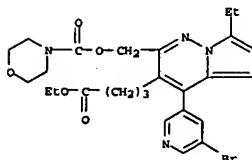


RN 728021-66-7 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-(5-bromo-3-pyridinyl)-7-ethyl-2-[[4-(morpholinylcarbonyl)oxy]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

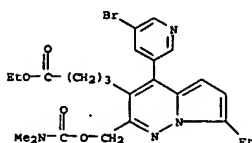
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728021-70-3 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-butanolic acid, 4-(5-bromo-3-pyridinyl)-7-ethyl-2-[[4-(morpholinylcarbonyl)oxy]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

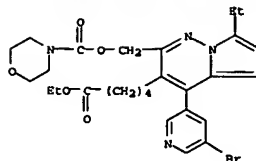


RN 728021-72-5 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-butanolic acid, 4-(5-bromo-3-pyridinyl)-2-[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

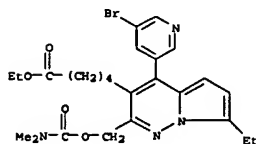


RN 728021-74-7 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-propanoic acid, 4-(5-bromo-3-pyridinyl)-2-[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

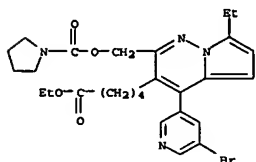
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 728021-67-8 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-(5-bromo-3-pyridinyl)-2-[[[(dimethylamino)carbonyl]oxy]methyl]-7-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

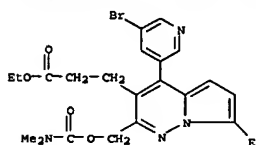


RN 728021-68-9 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-(5-bromo-3-pyridinyl)-7-ethyl-2-[[[(1-pyrrolidinylcarbonyl)oxy]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 728021-69-0 CAPLUS
CN Pyrrolo[1,2-b]pyridazine-3-pentanoic acid, 4-(5-bromo-3-pyridinyl)-7-ethyl-2-[[[(methylphenylamino)carbonyl]oxy]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:151782 CAPLUS

DOCUMENT NUMBER: 116:151782

TITLE: Preparation of pyrrolopyridazines as hydroxymethylglutaryl (HMG) CoA reductase inhibitors
 Matsuo, Masaaki; Manabe, Takashi; Okumura, Hiroyuki; Matsuda, Hiroshi; Fujii, Naoki

PATENT ASSIGNER(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

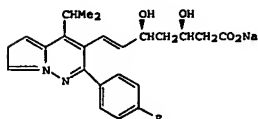
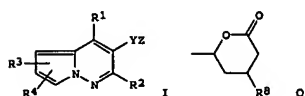
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 9118903 | A1 | 19911212 | WO 1991-JP678 | 19910522 |
| W: AU, CA, FI, HU, JP, KR, NO, SU, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| AU 9178918 | A1 | 19911231 | AU 1991-78918 | 19910522 |
| JP 06501918 | T2 | 19940303 | JP 1991-509210 | 19910522 |
| CN 1056690 | A | 19911204 | CN 1991-102784 | 19910524 |
| PRIORITY APPLN. INFO: | | | GB 1990-11837 | A 19900525 |
| | | | GB 1990-19173 | A 19900903 |
| | | | WO 1991-JP678 | A 19910522 |

OTHER SOURCE(S): MARPAT 116:151782

GI



AB Title compds. I [R1, R2 = (C3-8 cycloalkyl) C1-6 alkyl, C3-8 cycloalkyl, (substituted) aryl; R3, R4 = H, (aryl) C1-6 alkyl, halo, (substituted)]

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 aryl(thio), (protected) carboxy, acyl, (substituted) N-heterocyclyl, etc.:

Y = CH₂CH, CH₂CH₂; Z = CHOHCH₂CHOHCH₂RS, Q; R5 = (protected) carboxy, R8 =

(protected) OH] were prepd. as inhibitors of HMG CoA reductase. Thus, MeCOCH₂CO₂Me was condensed with (E)-3-[2-(4-fluorophenyl)-4-isopropylpyrrolo[1,2-b]pyridazin-3-yl]acrylaldehyde (prepn. given) in the presence of NaH to give (E)-RCH:CHCHOHCH₂CO₂Me [R = 2-(4-fluorophenyl)-4-isopropylpyrrolo[1,2-b]pyridazin-3-yl]. This was reduced by Et₃BOH and NaBH₄ to give (±)-erythro-(E)-RCH:CHCHOHCH₂CO₂Me. Sapon. of the latter by NaOH gave title compd.

II which had IC₅₀ of 0.009 µg/mL in vitro against HMG CoA reductase.

IT 139506-73-3P 139563-30-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

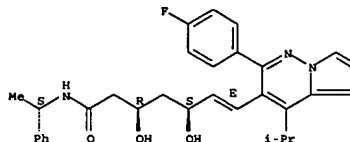
(preparation of, as hydroxymethylglutaryl CoA reductase inhibitor)

RN 139506-73-3 CAPLUS

CN 6-Heptenamide, 7-[2-(4-fluorophenyl)-4-(1-methylethyl)pyrrolo[1,2-b]pyridazin-3-yl]-3,5-dihydroxy-N-(1-phenylethyl)-, [3R-(1(S*),3R*,5S*,6E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



RN 139563-30-7 CAPLUS

CN 6-Heptenamide, 7-[2-(4-fluorophenyl)-4-(1-methylethyl)pyrrolo[1,2-b]pyridazin-3-yl]-3,5-dihydroxy-N-(1-phenylethyl)-, [3R-(1(R*),3R*,5S*,6E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

